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SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Hong Liu Examiner #: 77011 Date: 10/14/03
Art Unit: 1624 Phone Number 30 6-5814 Serial Number: 10/030,186
Mail Box and Bldg/Room Location: 4E12 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need. 1 of 4

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Serine Protease Inhibitors

Inventors (please provide full names): J Liebeschuetz C Murray
S Young N Camp

Earliest Priority Filing Date:

***For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.**

Barb please

Applicants elected the following species

1-(3-chloroindole-6-carbonyl-D-phenylglycine)-4-[(piperidin-1-yl)methyl]piperidine

see the explanation in applicants' response

****

STAFF USE ONLY	Type of Search	Vendors and cost where applicable
Searcher: Boyd	NA Sequence (#)	STN E-A-I
Searcher Phone #:	AA Sequence (#)	Dialog
Searcher Location:	Structure (#) 1	Questel/Orbit
Date Searcher Picked Up:	Bibliographic	Dr.Link
Date Completed: 10-15-03	Litigation	Lexis/Nexis
Searcher Prep & Review Time: 40	Fulltext	Sequence Systems
Clerical Prep Time:	Patent Family	WWW/Internet
Online Time: 17	Other	Other (specify)

PTO-1590 (8-01)

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=> fil reg; d stat que l4; fil capl; d que nos l5; fil uspatf; d que nos l6
FILE 'REGISTRY' ENTERED AT 10:33:43 ON 15 OCT 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES:   14 OCT 2003  HIGHEST RN 604736-26-7
DICTIONARY FILE UPDATES:  14 OCT 2003  HIGHEST RN 604736-26-7

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf
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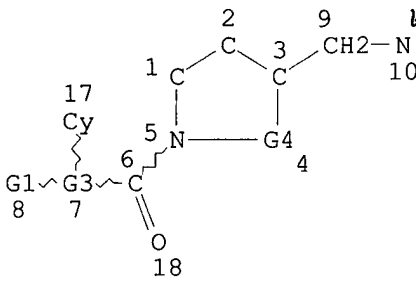
L2 STR



ring or chain node

Cy~G2~C
11 12 @13

Cy~C~Q
14 15 @16

Cy = any cyclic group
Q = any atom other than H or C

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VAR G1=13/16
VAR G2=C/N/O/S
VAR G3=N/C
REP G4=(1-2) CH2
NODE ATTRIBUTES:
NSPEC   IS RC     AT  10
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  18

STEREO ATTRIBUTES: NONE
L4            66 SEA FILE=REGISTRY SSS FUL L2

100.0% PROCESSED  19960 ITERATIONS                    66 ANSWERS
SEARCH TIME: 00.00.02


FILE 'CAPLUS' ENTERED AT 10:33:44 ON 15 OCT 2003
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FILE COVERS 1907 - 15 Oct 2003 VOL 139 ISS 16
FILE LAST UPDATED: 14 Oct 2003 (20031014/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

L2 STR
L4 66 SEA FILE=REGISTRY SSS FUL L2
L5 8 SEA FILE=CAPLUS ABB=ON L4

FILE 'USPATFULL' ENTERED AT 10:33:44 ON 15 OCT 2003

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 14 Oct 2003 (20031014/PD)
FILE LAST UPDATED: 14 Oct 2003 (20031014/ED)
HIGHEST GRANTED PATENT NUMBER: US6634028
HIGHEST APPLICATION PUBLICATION NUMBER: US2003192101
CA INDEXING IS CURRENT THROUGH 14 Oct 2003 (20031014/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 14 Oct 2003 (20031014/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2003
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2003

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
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>>> published document but also a list of any subsequent <<<
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>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
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>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L2              STR
L4           66 SEA FILE=REGISTRY SSS FUL L2
L6            1 SEA FILE=USPATFULL ABB=ON  L4


=> dup rem 15,16
FILE 'CAPLUS' ENTERED AT 10:33:47 ON 15 OCT 2003
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FILE 'USPATFULL' ENTERED AT 10:33:47 ON 15 OCT 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L5
PROCESSING COMPLETED FOR L6
L8             8 DUP REM L5 L6 (1 DUPLICATE REMOVED)
                 ANSWERS '1-8' FROM FILE CAPLUS

=> d ibib abs hitstr 1-8; fil cao; d que nos 17; fil hom

L8   ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2003 ACS on STN  DUPLICATE 1
ACCESSION NUMBER:         2002:354079  CAPLUS
DOCUMENT NUMBER:          136:355487
TITLE:                    Preparation of meta-benzamidine derivatives of amino
                          acids or dipeptides as serine protease inhibitors
INVENTOR(S):              Liebeschuetz, John Walter; Wylie, William Alexander;
                          Waszkowycz, Bohdan; Murray, Christopher William;
                          Rimmer, Andrew David; Welsh, Pauline Mary; Jones,
                          Stuart Donald; Roscoe, Jonathan Michael Ernest; Young,
                          Stephen Clinton; Morgan, Phillip John
PATENT ASSIGNEE(S):       UK
SOURCE:                   U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U.S.
                          Ser. No. 485,678.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   13
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.   DATE
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     US 2002055522      A1   20020509       US 2001-988082    20011119
     WO 9911658         A1   19990311       WO 1998-GB2605    19980828
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             DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
             UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     WO 2000077027      A2   20001221       WO 2000-GB2291    20000613
     WO 2000077027      A3   20010525
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
             CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
             ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
             SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
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PRIORITY APPLN. INFO.:                  GB 1997-18392     A  19970829
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GB	1998-3173	A	19980213
WO	1998-GB2605	W	19980828
GB	1999-13823	A	19990614
US	1999-142064P	P	19990702
US	2000-485678	A2	20000225
WO	2000-GB2291	A2	20000613
GB	1999-18741	A	19990809
GB	1999-29552	A	19991214
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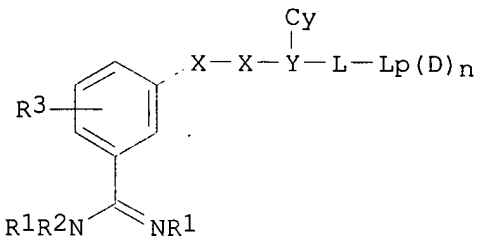
OTHER SOURCE(S): MARPAT 136:355487

GI



I

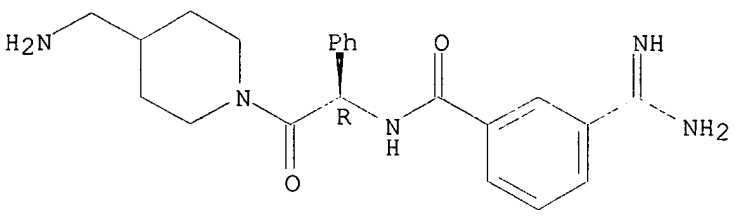
AB Title compds. I [R1, R2 = H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by hydroxy, alkylamino, alkoxy, oxo, aryl, cycloalkyl; R3 = R1, R2, amino, halo, cyano, nitro, thiol, alkylthio, alkylsulfonyl, alkylsulfenyl, alkylsulfonamido, alkylaminosulfonyl, haloalkoxy, haloalkyl; X = C, N, O, S, CO, CR1, C(R1)2, NR1 with at least one X being C, CO, CR1 or C(R1)2, with the proviso that if the benzamidine group is unsubstituted and the X-X group is -CH2C(R1)2-, then R1 = H or attached to the alkylene carbon atom by a heteroatom; L = org. linker contg. 1-5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y = N, CR1; YL = cyclic group; Cy = (un)satd., (poly)cyclic, (hetero)cyclic group optionally substituted by groups R3 or Ph optionally substituted by R3; Lp = lipophilic alkyl, heterocyclic, alkenyl, alkaryl, (poly)cycloalkyl, cycloalkenyl, aryl, aralkyl, haloalkyl, or a combination of two or more such groups optionally substituted by oxa, oxo, aza, thio, halo, amino, hydroxy or by R3; D = H bond donor group; n = 0-2], or corresponding compds. in which the (un)substituted amidino group R1R2NC(:NR1) is replaced with an (un)substituted aminomethyl group, or their physiol. tolerable salts were prepd. as serine protease inhibitors useful as antithrombotic agents. 3-Amidino- and 3-(aminomethyl)benzoyl-D-phenylglycine 4-aminomethylcyclohexylmethylamide are among 190 compds. synthesized.

IT **221233-09-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of meta-benzamidine derivs. of amino acids or dipeptides as serine protease inhibitors)

RN 221233-09-6 CAPLUS

CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(aminomethyl)-1-piperidinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

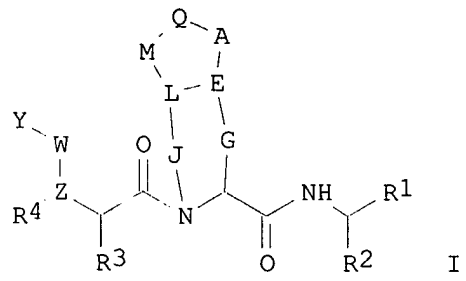


L8 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:591204 CAPLUS
DOCUMENT NUMBER: 139:149928
TITLE: Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus
INVENTOR(S): Saksena, Anil K.; Girijavallabhn, Viyyoor M.; Lovey, Raymond G.; Jao, Edwin; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-yau; Liu, Yi-tsung; Zhu, Zhaoning; Njoroge, George F.; Arasappan, Ashok; Parekh, Tejal; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.; Wu, Wanli; Hendrata, Siska; Huang, Yuhua; Wong, Jesse K.; Nair, Latha G.
PATENT ASSIGNEE(S): Schering Corporation, USA; Corvas International, Inc.
SOURCE: PCT Int. Appl., 633 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062265	A2	20030731	WO 2003-US1430	20030116

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-52386 A 20020118
OTHER SOURCE(S): MARPAT 139:149928
GI



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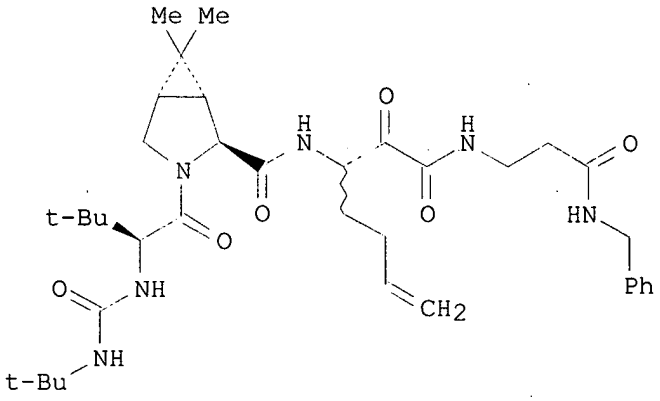


II

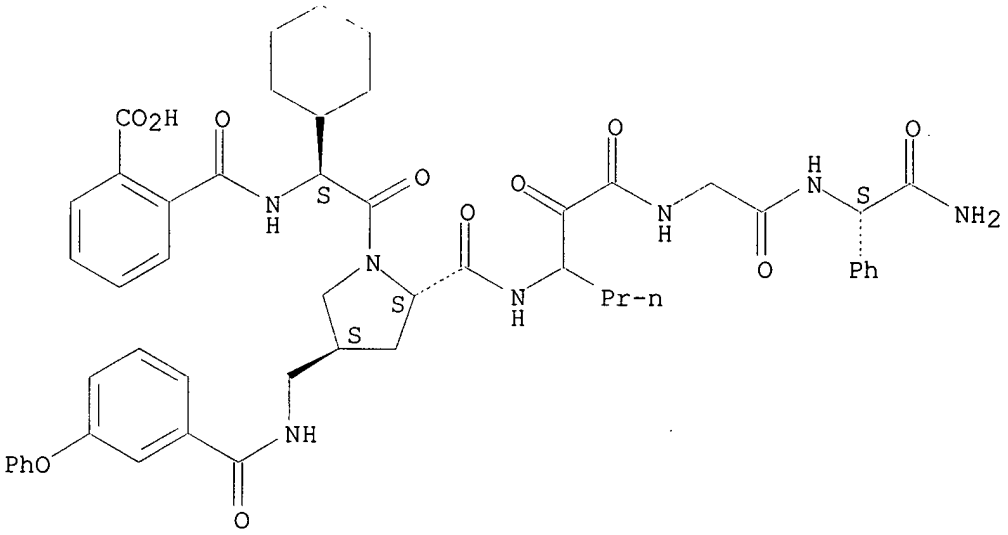
AB The invention discloses novel peptides I [Y is alkyl, alkylaryl, heteroalkyl, heteroaryl, aryl- or alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino, or heterocycloalkylamino; R1 is acyl; Z is selected from O, N, CH or CR; R, R2-R4 are H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halo, (cycloalkyl)alkyl, or (heterocycloalkyl)alkyl; W, Q, G, J, L, M independently may be present or absent; W is CO, CS, C(:N-CN), or SO2; Q is CH, N, P, alkylidene, O, NR, S, or SO2; A is O, CH, alkylidene, NR, S, SO2, or a bond; E is CH, N, alkylidene, or a double bond; G is alkylidene; J is alkylidene, SO2, NH, NR, or O; L is CH, CR, O, S, or NR; M is O, NR, S, SO2, or alkylidene (with provisos)] which have HCV protease inhibitory activity as well as methods for prepg. such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders assocd. with the HCV protease. Thus, peptide II was prepd. and showed Ki = 1-100 nM (category A) in the HCV continuous assay.

IT **394722-91-9P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 394722-91-9 CAPLUS

CN Glycinamide, (2S)-N-(2-carboxybenzoyl)-2-cyclohexylglycyl-(4S)-4-[[(3-phenoxybenzoyl)amino]methyl]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

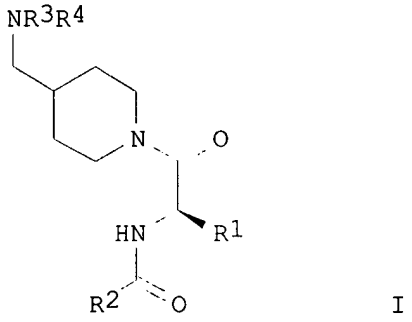


L8 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:472387 CAPLUS
DOCUMENT NUMBER: 139:53306
TITLE: Preparation of amino acid piperidinamide derivatives as factor Xa inhibitors for use in the treatment of thrombotic disorders
INVENTOR(S): Hiscock, Steven Douglas; Jones, Stuart Donald; Sall, Daniel Jon; Young, Stephen Clinton; Wiley, Michael Robert
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049737	A1	20030619	WO 2002-US36149	20021209

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-339324P P 20011212
OTHER SOURCE(S): MARPAT 139:53306
GI



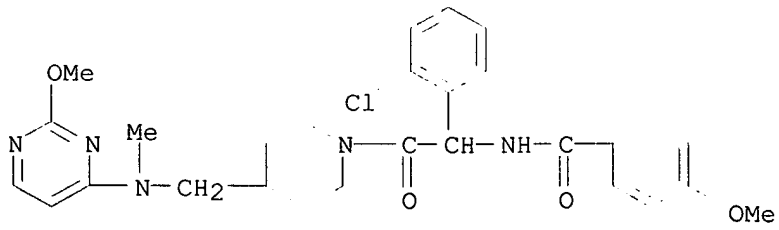
I

AB Compds. I [R1 = (un)substituted Ph, pyridyl, pyrimidyl, pyridazinyl, furyl, thienyl, imidazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, thiadiazolyl, naphthyl, benzofuryl, benzothienyl, quinolyl, isoquinolyl, piperidinyl, tetrahydropyranyl, or (cyclo)alkyl; R2 = 4-Cl-, 4-MeO-, or 4-MeC6H4 which may be 3-substituted, 2- or 6-indolyl which may be 5- or 3-substituted, resp., or 2-benzothienyl which may be 6-substituted; R3 = (un)substituted 4-pyridyl or 4-pyrimidinyl, 3-pyridazinyl; R4 = H or Me] or their pharmaceutically-acceptable salts were prepd. as factor Xa inhibitors useful in the treatment of thrombotic disorders. Thus, 1-[4-methoxybenzoyl-DL-(2-chlorophenyl)glycinyl]-4-[[(2-methoxypyrimidin-4-yl)(methyl)amino]methyl]piperidine was prepd. by coupling of tert-butoxycarbonyl-DL-(2-chlorophenyl)glycine with 4-[[(2-methoxypyrimidin-4-yl)(methyl)amino]methyl]piperidine hydrochloride, followed by deprotection and acylation with anisic acid.

IT **544695-85-4P 544695-86-5P 544695-87-6P**
544695-88-7P 544695-89-8P 544695-90-1P
544695-91-2P 544695-92-3P 544695-93-4P
544695-94-5P 544695-95-6P 544695-96-7P
544695-97-8P 544695-98-9P 544695-99-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid piperidinamide derivs. as factor Xa inhibitors for treatment of thrombotic disorders)

RN 544695-85-4 CAPLUS

CN Benzamide, N-[1-(2-chlorophenyl)-2-[4-[[(2-methoxy-4-pyrimidinyl)methylamino]methyl]-1-piperidinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 544695-86-5 CAPLUS

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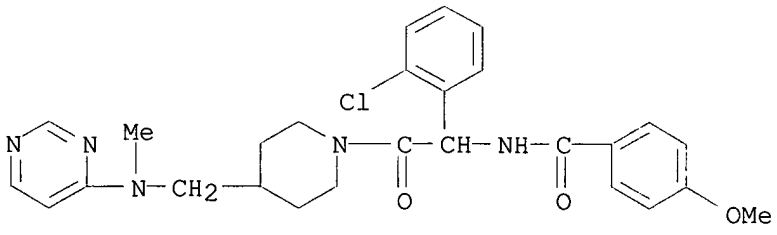
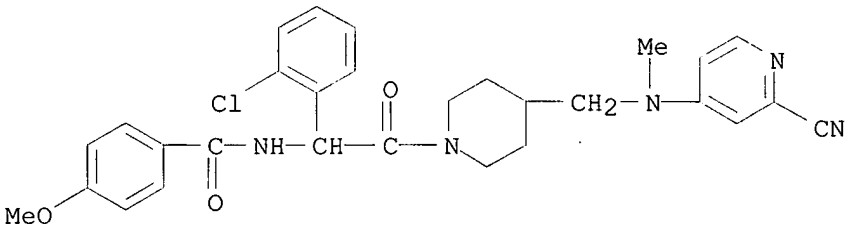
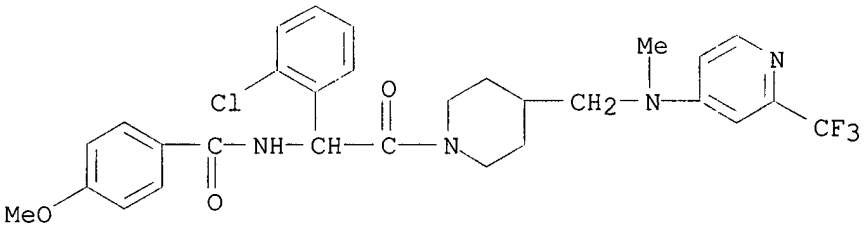


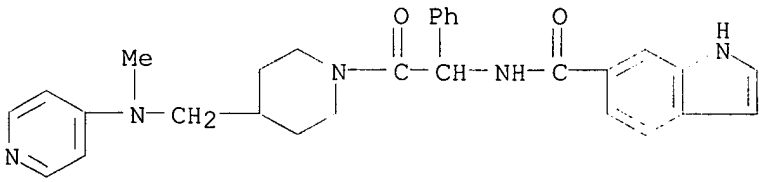
RN 544695-87-6 CAPLUS
CN Benzamide, N-[1-(2-chlorophenyl)-2-[4-[[(2-cyano-4-pyridinyl)methylamino]methyl]-1-piperidinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)



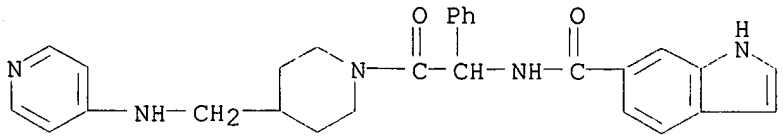
RN 544695-88-7 CAPLUS
CN Benzamide, N-[1-(2-chlorophenyl)-2-[4-[[methyl[2-(trifluoromethyl)-4-pyridinyl]amino]methyl]-1-piperidinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)



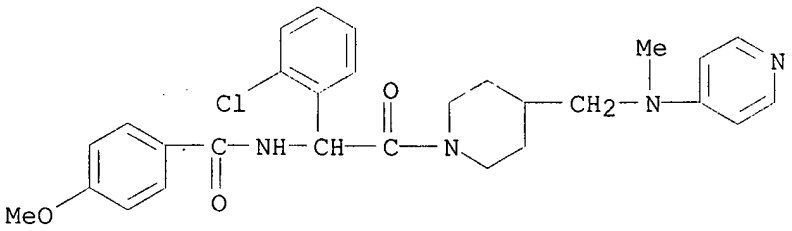
RN 544695-89-8 CAPLUS
CN 1H-Indole-6-carboxamide, N-[2-[4-[(methyl-4-pyridinylamino)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)



RN 544695-90-1 CAPLUS
CN 1H-Indole-6-carboxamide, N-[2-oxo-1-phenyl-2-[4-[(4-pyridinylamino)methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

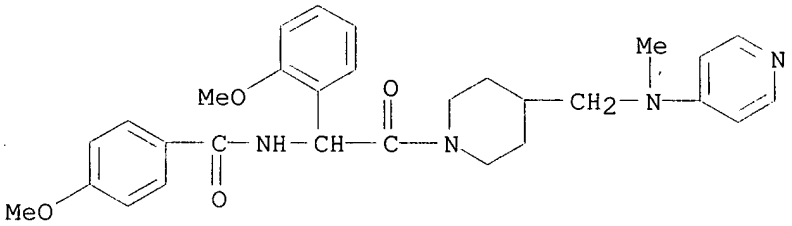


RN 544695-91-2 CAPLUS
CN Benzamide, N-[1-(2-chlorophenyl)-2-[4-[(methyl-4-pyridinylamino)methyl]-1-piperidinyl]-2-oxoethyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

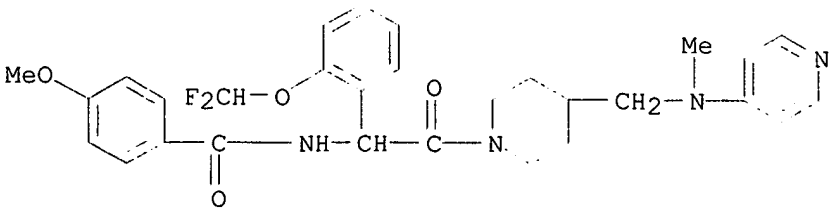


● HCl

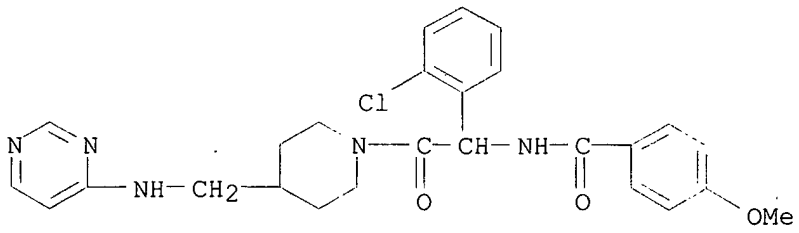
RN 544695-92-3 CAPLUS
CN Benzamide, 4-methoxy-N-[1-(2-methoxyphenyl)-2-[4-[(methyl-4-pyridinylamino)methyl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



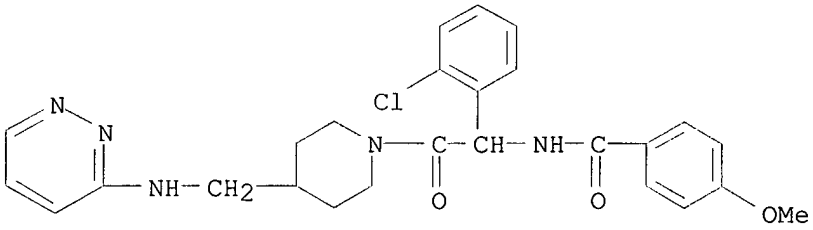
RN 544695-93-4 CAPLUS
CN Benzamide, N-[1-[2-(difluoromethoxy)phenyl]-2-[4-[(methyl-4-pyridinylamino)methyl]-1-piperidinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)



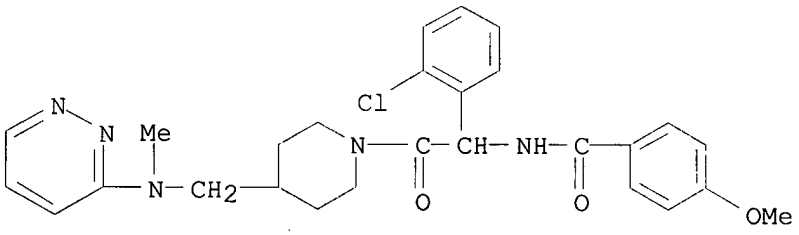
RN 544695-94-5 CAPLUS
CN Benzamide, N-[1-(2-chlorophenyl)-2-oxo-2-[4-[(4-pyrimidinylamino)methyl]-1-piperidinyl]ethyl]-4-methoxy- (9CI) (CA INDEX NAME)



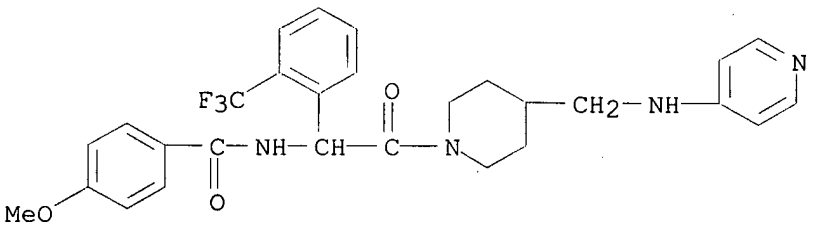
RN 544695-95-6 CAPLUS
CN Benzamide, N-[1-(2-chlorophenyl)-2-oxo-2-[4-[(3-pyridazinylamino)methyl]-1-piperidinyl]ethyl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 544695-96-7 CAPLUS
CN Benzamide, N-[1-(2-chlorophenyl)-2-[4-[(methyl-3-pyridazinylamino)methyl]-1-piperidinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)



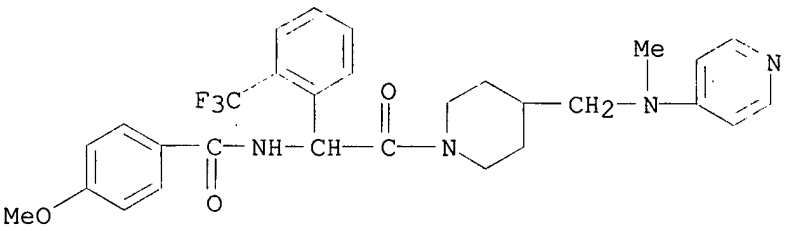
RN 544695-97-8 CAPLUS
CN Benzamide, 4-methoxy-N-[2-oxo-2-[4-[(4-pyridinylamino)methyl]-1-piperidinyl]-1-[2-(trifluoromethyl)phenyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

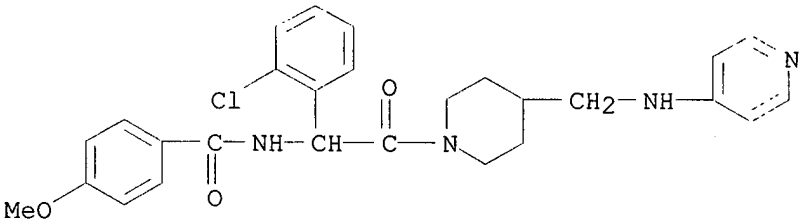
RN 544695-98-9 CAPLUS
CN Benzamide, 4-methoxy-N-[2-[4-[(methyl-4-pyridinylamino)methyl]-1-

piperidinyl]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 544695-99-0 CAPLUS
CN Benzamide, N-[1-(2-chlorophenyl)-2-oxo-2-[4-[(4-pyridinylamino)methyl]-1-piperidinyl]ethyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:90062 CAPLUS
DOCUMENT NUMBER: 136:167698
TITLE: Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus
INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-Yau; Liu, Yi-Tsung; Zhu, Zhaoning; Njoroge, F. George; Arasappan, Ashok; Parekh, Tejal N.; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Wu, Wanli; Hendrata, Siska; Huang, Yuhua; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.
PATENT ASSIGNEE(S): Schering Corporation, USA; Corvas International, Inc.
SOURCE: PCT Int. Appl., 536 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008244	A2	20020131	WO 2001-US22678	20010719
WO 2002008244	A3	20030619		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

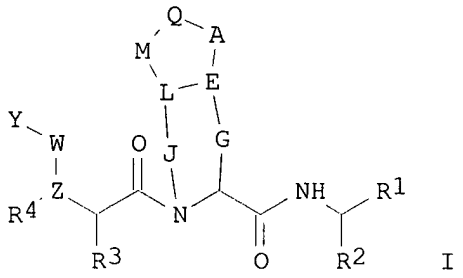
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001076988	A5	20020205	AU 2001-76988	20010719
BR 2001012540	A	20030624	BR 2001-12540	20010719
NO 2003000272	A	20030321	NO 2003-272	20030120

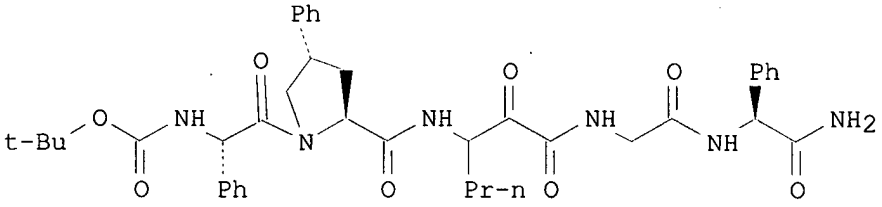
PRIORITY APPLN. INFO.: US 2000-220108P P 20000721
WO 2001-US22678 W 20010719

OTHER SOURCE(S): MARPAT 136:167698

GI



I



II

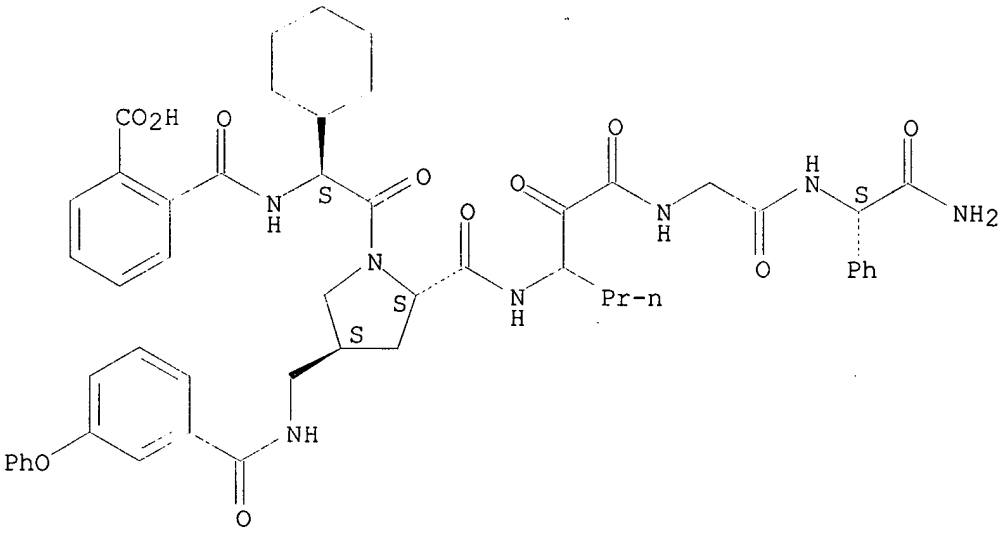
AB Peptides I were prepd. wherein Y is alkyl, alkyl-aryl, heteroaryl, heteroalkyl, heteroaryl, aryl-heteroaryl, alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy,, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino and heterocycloalkylamino; R1 is acyl, borate; Z is selected from O, N, CH or CR; W, Q, G, J, L, M independently maybe present or absent; W is C=O, C=S, C(=N-CN), or SO; Q is CH, N, P, alkylidene, O, amine,S, or SO; A is O, CH, alkylidene, amine, S, SO or bond; E is CH, N, alkylidene, or double bond; G is alkylidene; J is alkylidene, SO, NH, NR, O; L is CH, alkylidene, O, S or NR; M is O, NR,S, SO, alkylidene; p is 0 to 6; and R-R4 are independently selected from the group consisting of H; alkyl; alkenyl; cycloalkyl; heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halogen; (cycloalkyl)alkyl and (heterocycloalkyl)alkyl, which have HCV protease inhibitory activity as well as methods for prepg. such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders assocd. with

the HCV protease. Thus peptide II was prepd. and tested as antiviral agent and NS3-serine protease inhibitors of hepatitis C virus with Ki ranges in category A = 1-100 nM; category B = 101-1,000 nM; category C > 1000 nM. Also disclosed is the use of I for the manuf. of a medicament for treating HCV, AIDS, and related disorders.

IT **394722-91-9P 394728-91-7P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides as NS3-serine protease inhibitors of hepatitis C virus)

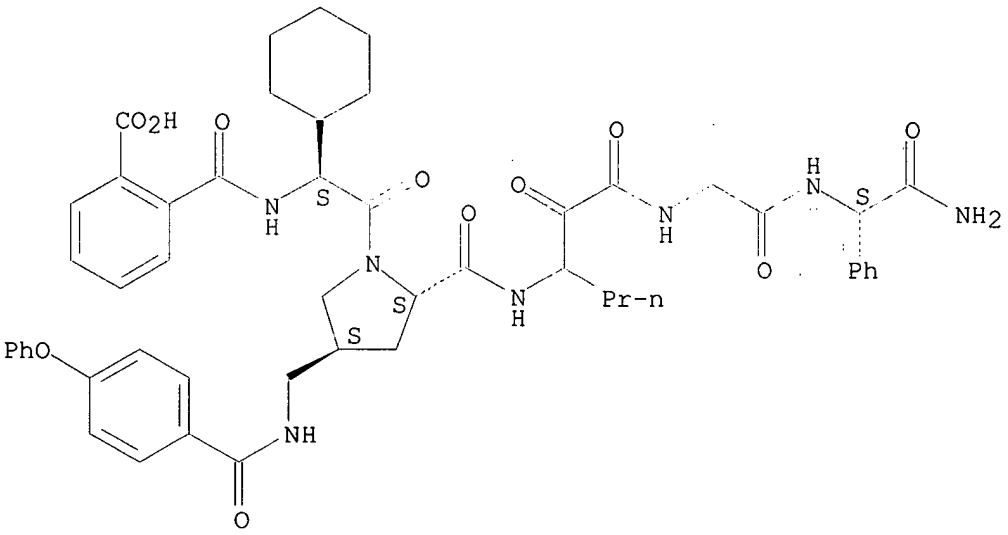
RN 394722-91-9 CAPLUS
CN Glycinamide, (2S)-N-(2-carboxybenzoyl)-2-cyclohexylglycyl-(4S)-4-[[(3-phenoxybenzoyl)amino]methyl]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 394728-91-7 CAPLUS
CN Glycinamide, (2S)-N-(2-carboxybenzoyl)-2-cyclohexylglycyl-(4S)-4-[[(4-phenoxybenzoyl)amino]methyl]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:923766 CAPLUS
DOCUMENT NUMBER: 136:54019
TITLE: Preparation of amino acid derivatives as serine protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Murray, Christopher William; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Wylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert; Sheehan, Scott Martin; Engel, David Birenbaum; Watson, Brian Morgan
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

Applicant

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096304	A1	20011220	WO 2001-GB2572	20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO 2000076971	A2	20001221	WO 2000-GB2302	20000613
WO 2000076971	A3	20010802		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1289953 A1 20030312 EP 2001-938403 20010612

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2002151724 A1 20021017 US 2002-30186 20020204

PRIORITY APPLN. INFO.: WO 2000-GB2302 W 20000613
GB 2000-30306 A 20001213
GB 1999-13823 A 19990614
US 1999-142064P P 19990702
GB 1999-18741 A 19990809
GB 1999-29553 A 19991214
WO 2001-GB2572 W 20010612

OTHER SOURCE(S): MARPAT 136:54019

AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 is a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5- or 6-membered carbocyclic or heterocyclic ring, or substituted at the position alpha to X-X; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; -L-Lp(D)n is 3-(Rq-CH2)-1-pyrrolidinylcarbonyl or 4-(Rq-CH2)-1-piperidinylcarbonyl, where Rq is an amino group] or their physiol.-tolerable salts were prepd. for use as serine protease and factor Xa inhibitors in the treatment of cardiovascular disorders. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-[(4-methoxybenzoyl-D-phenylglycinyl)]-4-[(isopropylamino)methyl]piperidine hydrochloride was prepd. in the first of 28 examples.

IT **381215-62-9P 381215-64-1P 381215-66-3P**
381215-68-5P 381215-70-9P 381215-78-7P
381216-25-7P 381216-33-7P 381216-34-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of amino acid derivs. as serine protease inhibitors)

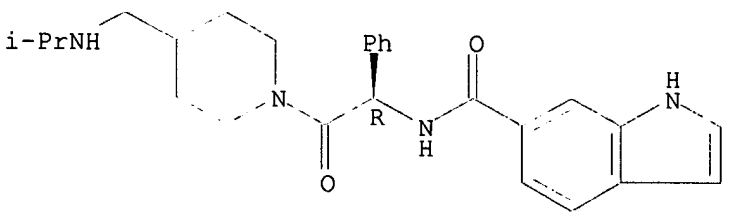
RN 381215-62-9 CAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[[(1-methylethyl)amino]methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

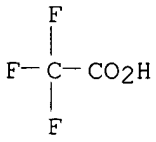
CRN 381215-61-8
CMF C26 H32 N4 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

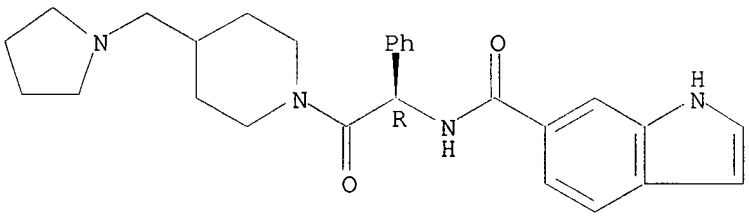


RN 381215-64-1 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(1-pyrrolidinylmethyl)-1-piperidinyl]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

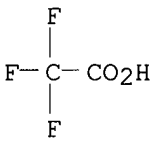
CRN 381215-63-0
CMF C27 H32 N4 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

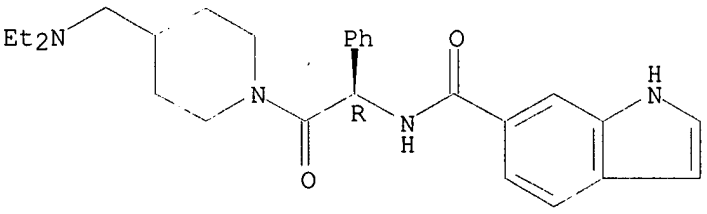


RN 381215-66-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[(diethylamino)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

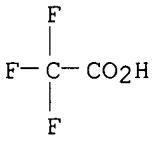
CRN 381215-65-2
CMF C27 H34 N4 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

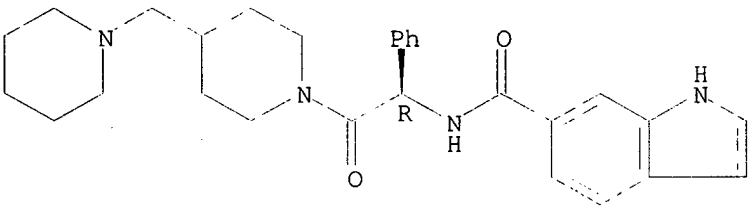


RN 381215-68-5 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(1-piperidinylmethyl)-1-piperidinyl]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

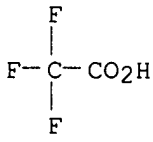
CRN 381215-67-4
CMF C28 H34 N4 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

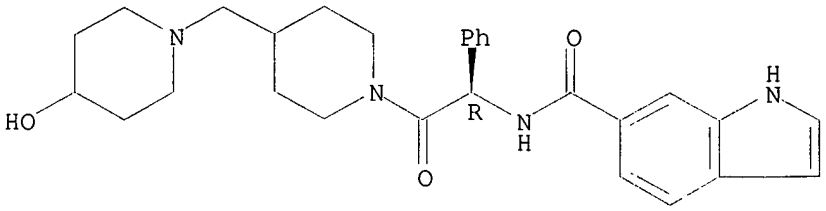


RN 381215-70-9 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[(4-hydroxy-1-piperidinyl)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

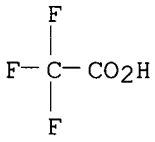
CRN 381215-69-6
CMF C28 H34 N4 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

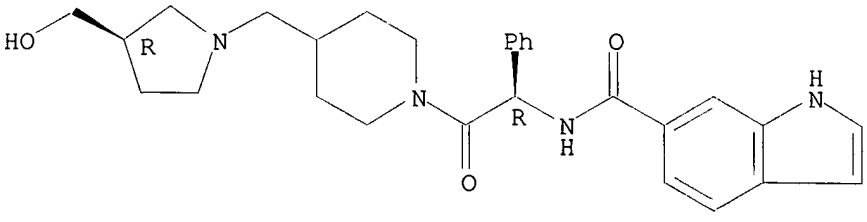


RN 381215-78-7 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[[(3R)-3-(hydroxymethyl)-1-pyrrolidinyl]methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

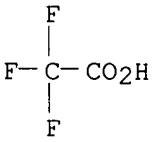
CRN 381215-77-6
CMF C28 H34 N4 O3

Absolute stereochemistry.



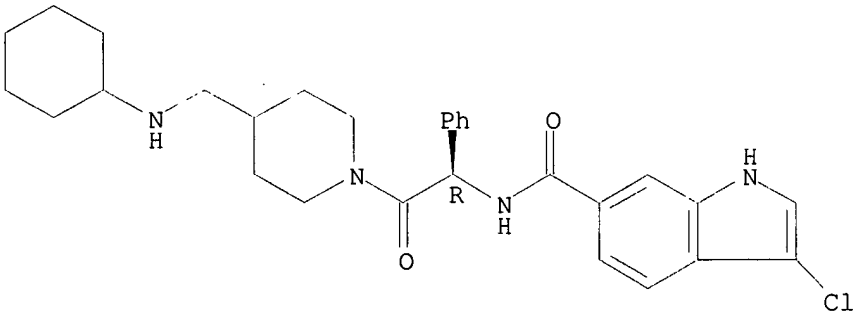
CM 2

CRN 76-05-1
CMF C2 H F3 O2

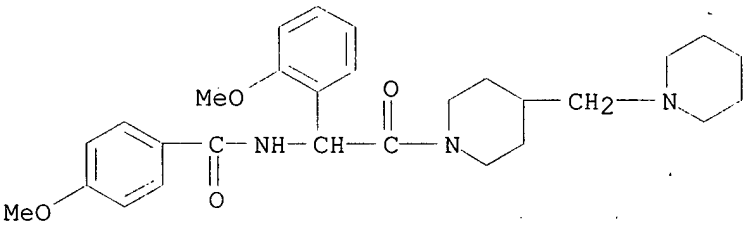


RN 381216-25-7 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-[(cyclohexylamino)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

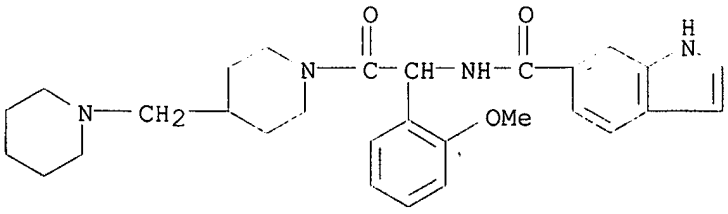
Absolute stereochemistry.



RN 381216-33-7 CAPLUS
CN Benzamide, 4-methoxy-N-[1-(2-methoxyphenyl)-2-oxo-2-[4-(1-piperidinylmethyl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 381216-34-8 CAPLUS
CN 1H-Indole-6-carboxamide, N-[1-(2-methoxyphenyl)-2-oxo-2-[4-(1-piperidinylmethyl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



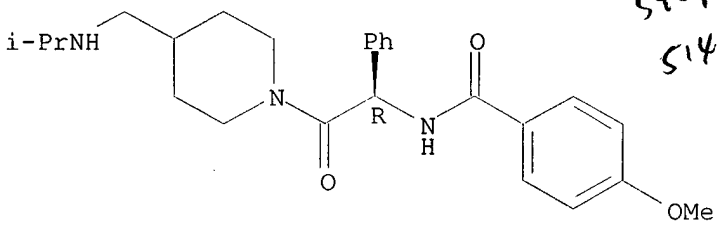
IT **313489-01-9P 313489-02-0P 313489-03-1P**
313489-04-2P 313489-05-3P 381215-67-4P
381215-72-1P 381215-74-3P 381215-76-5P
381215-80-1P 381215-82-3P 381215-83-4P
381215-84-5P 381215-86-7P 381215-88-9P
381215-90-3P 381215-92-5P 381215-94-7P

381215-96-9P 381215-98-1P 381216-00-8P
381216-02-0P 381216-04-2P 381216-06-4P
381216-08-6P 381216-10-0P 381216-12-2P
381216-14-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid derivs. as serine protease inhibitors)

RN 313489-01-9 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[[(1-methylethyl)amino]methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

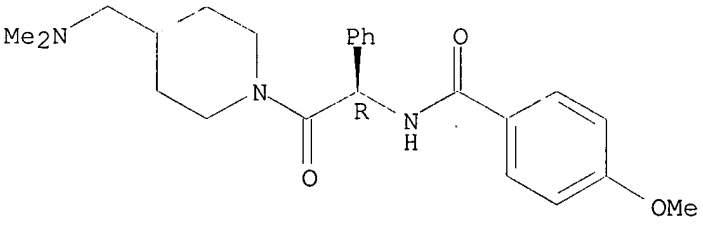
546/245
514/330



● HCl

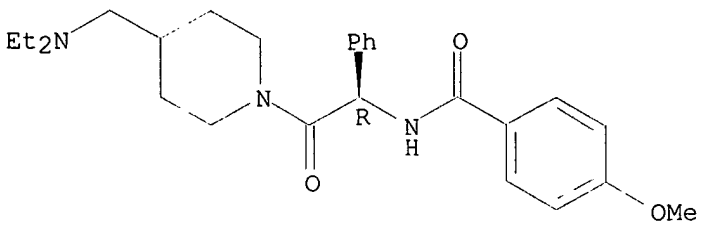
RN 313489-02-0 CAPLUS
CN Benzamide, N-[(1R)-2-[4-[(dimethylamino)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-03-1 CAPLUS
CN Benzamide, N-[(1R)-2-[4-[(diethylamino)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

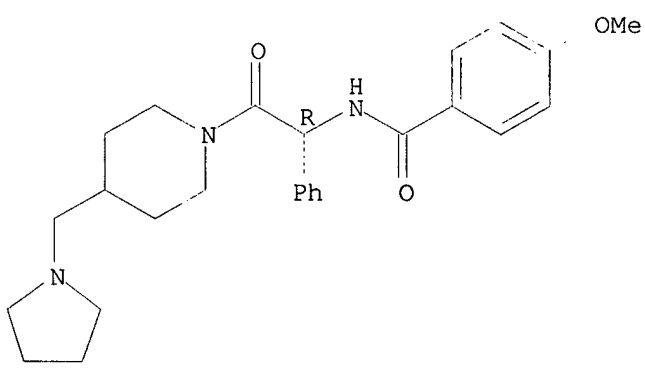
Absolute stereochemistry.



● HCl

RN 313489-04-2 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(1-pyrrolidinylmethyl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

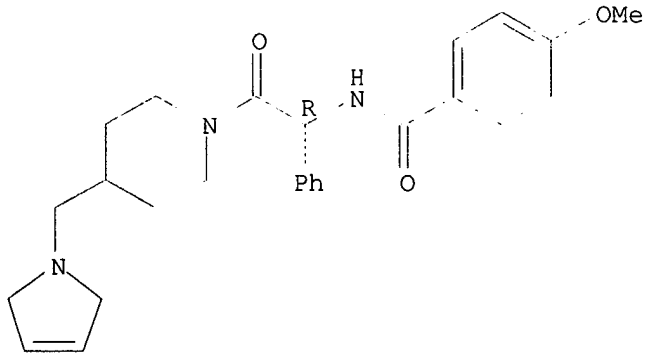
Absolute stereochemistry.



546/208
514/326

RN 313489-05-3 CAPLUS
CN Benzamide, N-[(1R)-2-[4-[(2,5-dihydro-1H-pyrrol-1-yl)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

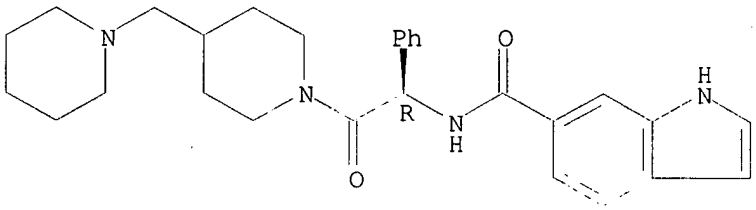


HCl

RN 381215-67-4 CAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(1-piperidinylmethyl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



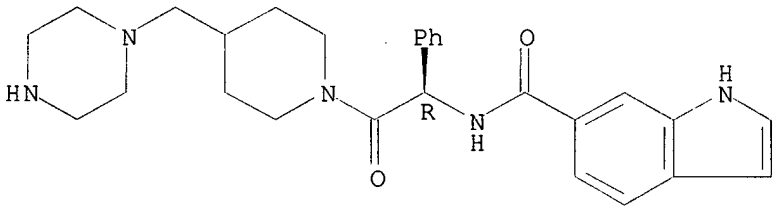
546/187
514/316

RN 381215-72-1 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(1-piperazinylmethyl)-1-piperidinyl]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

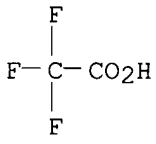
CRN 381215-71-0
CMF C27 H33 N5 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

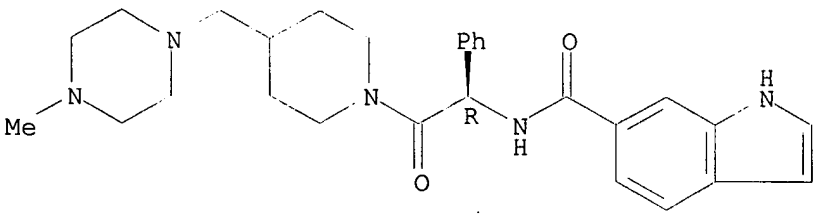


RN 381215-74-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[(4-methyl-1-piperazinyl)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 381215-73-2
CMF C28 H35 N5 O2

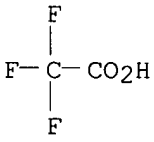
Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

544/364
514/253.09

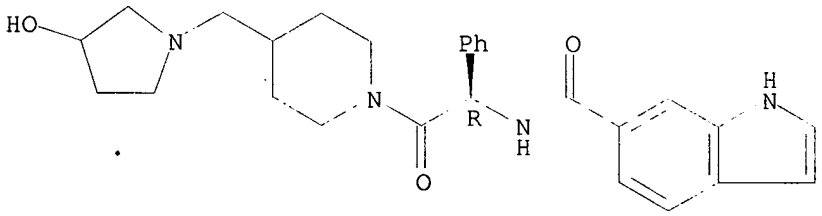


RN 381215-76-5 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[(3-hydroxy-1-pyrrolidinyl)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

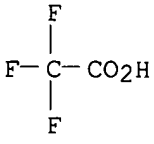
CRN 381215-75-4
CMF C27 H32 N4 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



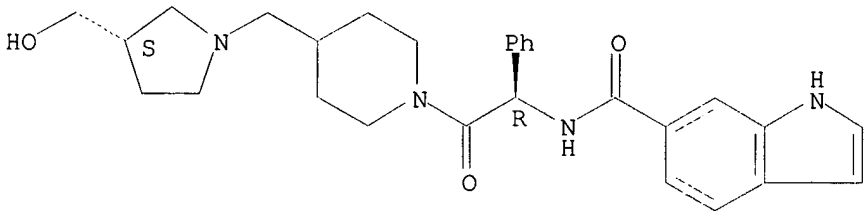
RN 381215-80-1 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[[(3S)-3-(hydroxymethyl)-1-pyrrolidinyl]methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-,

mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

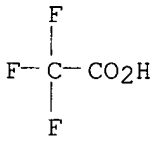
CRN 381215-79-8
CMF C28 H34 N4 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

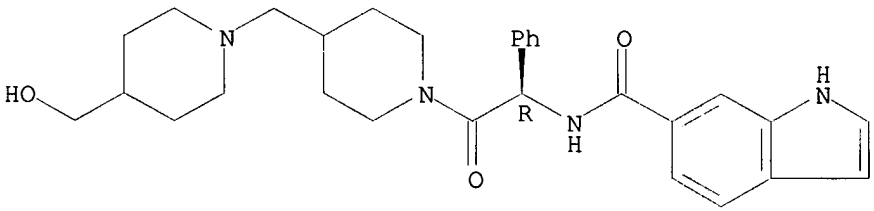


RN 381215-82-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[[4-(hydroxymethyl)-1-piperidinyl]methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

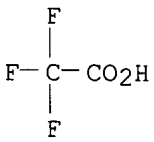
CRN 381215-81-2
CMF C29 H36 N4 O3

Absolute stereochemistry.



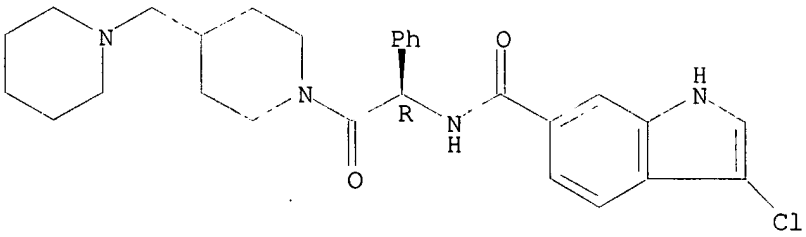
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 381215-83-4 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-(1-piperidinylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

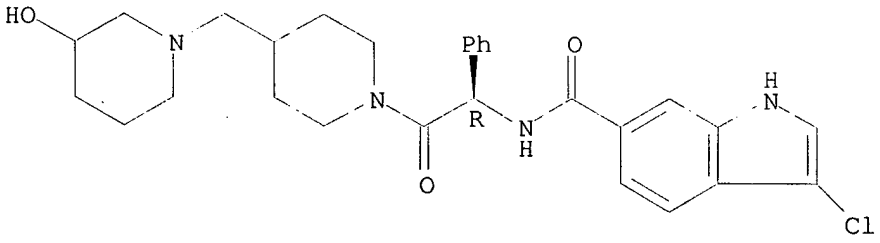
Absolute stereochemistry.



● HCl

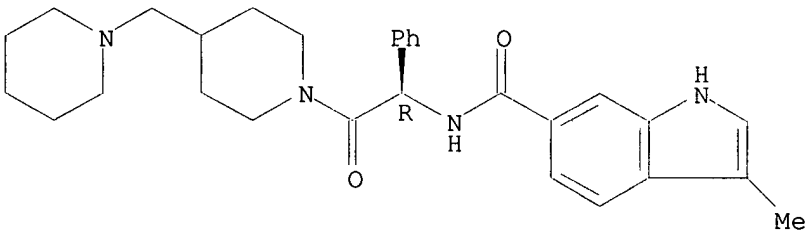
RN 381215-84-5 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-[(3-hydroxy-1-piperidinyl)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381215-86-7 CAPLUS
CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-oxo-1-phenyl-2-[4-(1-piperidinylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

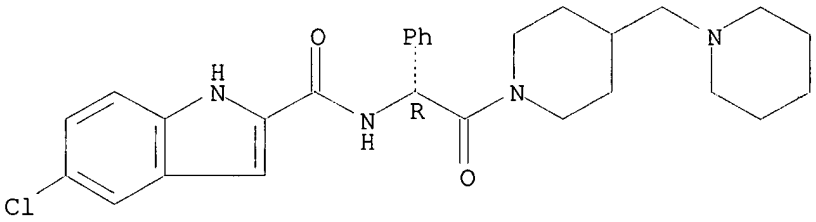
Absolute stereochemistry.



● HCl

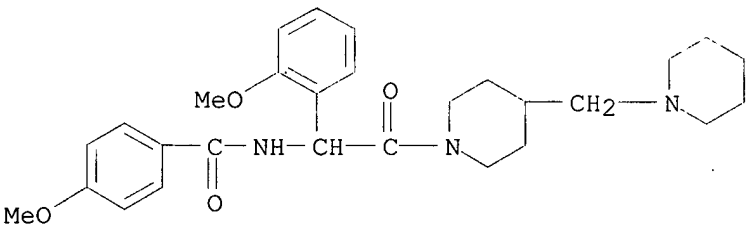
RN 381215-88-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-(1-piperidinylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

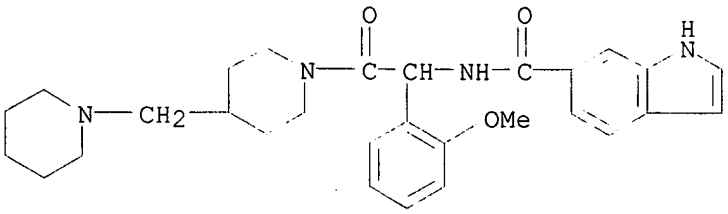
RN 381215-90-3 CAPLUS
CN Benzamide, 4-methoxy-N-[1-(2-methoxyphenyl)-2-oxo-2-[4-(1-piperidinylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



546/189
514/316

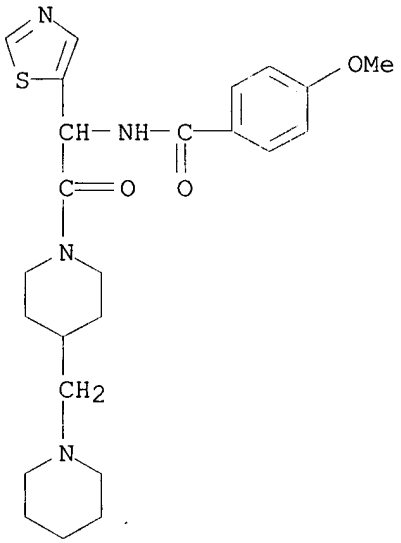
● HCl

RN 381215-92-5 CAPLUS
CN 1H-Indole-6-carboxamide, N-[1-(2-methoxyphenyl)-2-oxo-2-[4-(1-piperidinylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



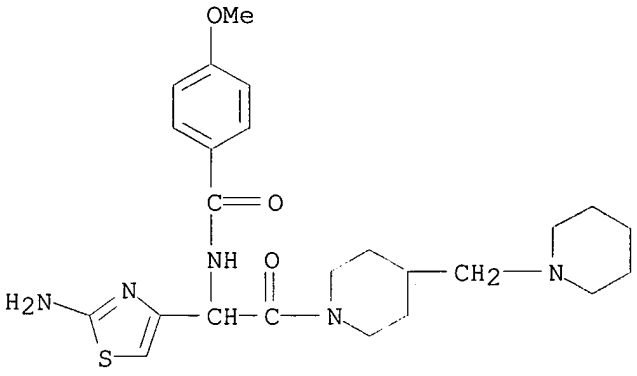
● HCl

RN 381215-94-7 CAPLUS
CN Benzamide, 4-methoxy-N-[2-oxo-2-[4-(1-piperidinylmethyl)-1-piperidinyl]-1-(5-thiazolyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



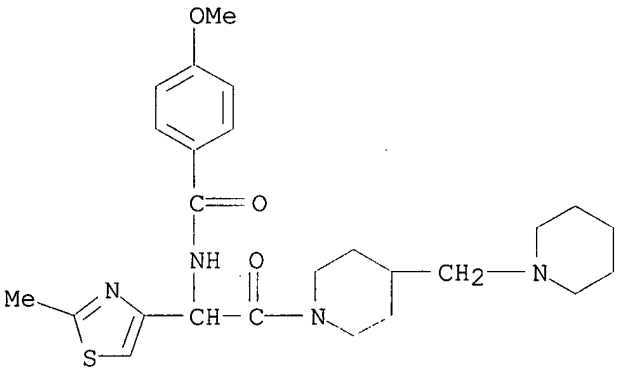
● HCl

RN 381215-96-9 CAPLUS
CN Benzamide, N-[1-(2-amino-4-thiazolyl)-2-oxo-2-[4-(1-piperidinylmethyl)-1-piperidinyl]ethyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

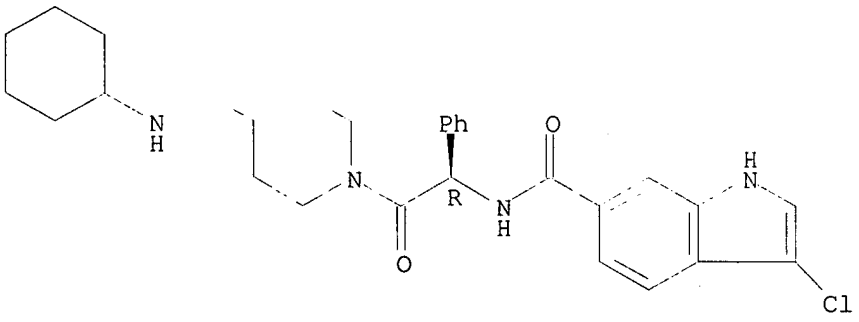
RN 381215-98-1 CAPLUS
CN Benzamide, 4-methoxy-N-[1-(2-methyl-4-thiazolyl)-2-oxo-2-[4-(1-piperidinylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 381216-00-8 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-[(cyclohexylamino)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

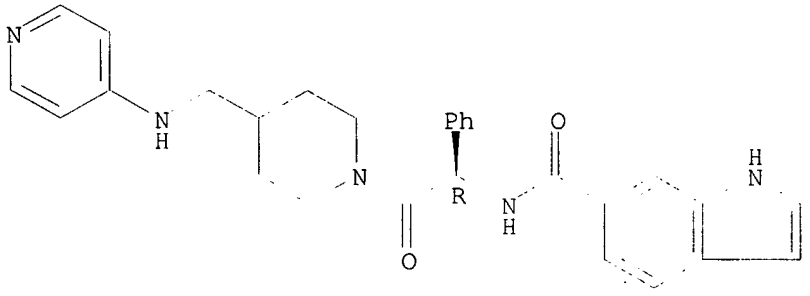


● HCl

RN 381216-02-0 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[(4-pyridinylamino)methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

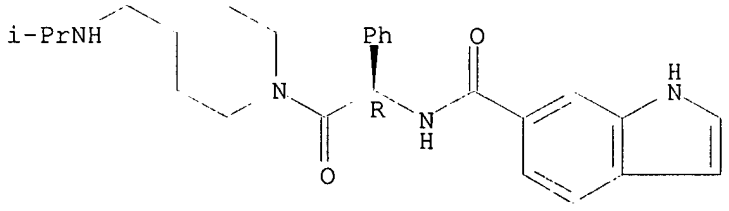
Absolute stereochemistry.

546/193
514/318



RN 381216-04-2 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[[(1-methylethyl)amino]methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

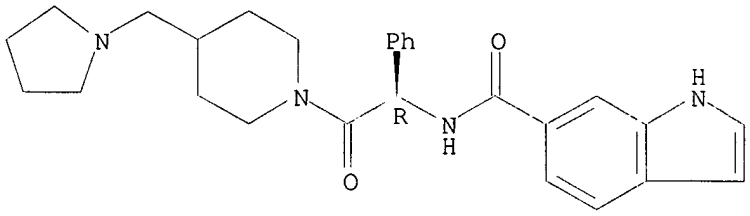
Absolute stereochemistry.



● HCl

RN 381216-06-4 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(1-pyrrolidinylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

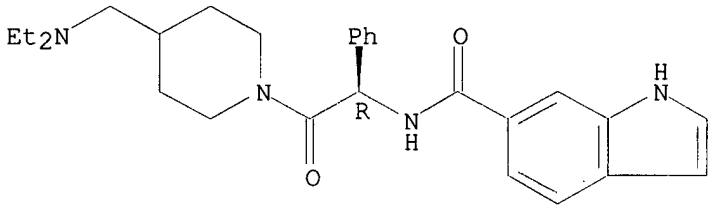
Absolute stereochemistry.



● HCl

RN 381216-08-6 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[(diethylamino)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

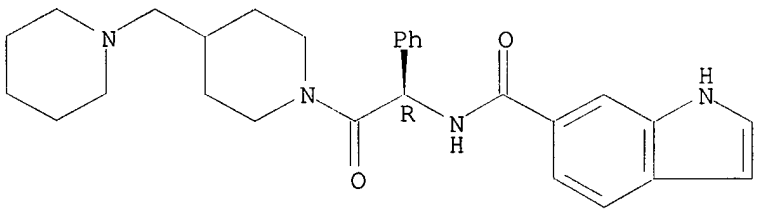
Absolute stereochemistry.



● HCl

RN 381216-10-0 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(1-piperidinylmethyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

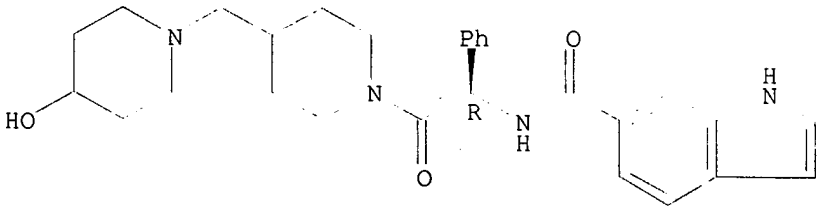
Absolute stereochemistry.



● HCl

RN 381216-12-2 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[(4-hydroxy-1-piperidinyl)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

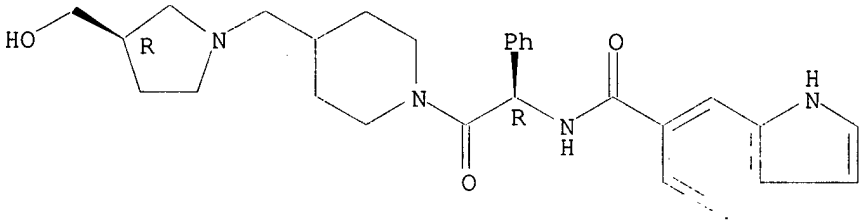
Absolute stereochemistry.



● HCl

RN 381216-14-4 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[[(3R)-3-(hydroxymethyl)-1-pyrrolidinyl]methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

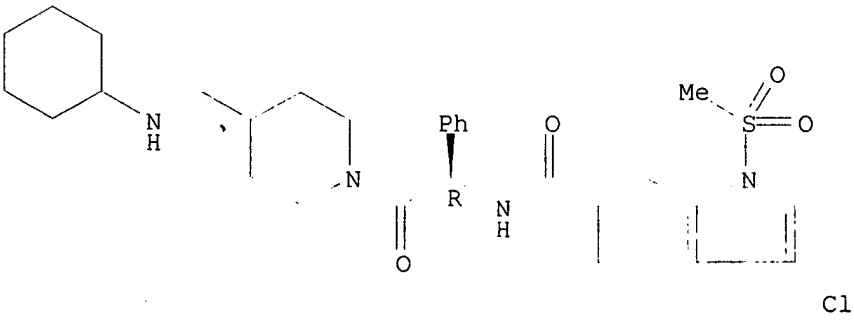
Absolute stereochemistry.



● HCl

IT **381216-32-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of amino acid derivs. as serine protease inhibitors)
RN 381216-32-6 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-[(cyclohexylamino)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:900614 CAPLUS
DOCUMENT NUMBER: 134:56958
TITLE: Preparation of amino acid derivatives as serine protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Protherics Molecular Design Limited
SOURCE: PCT Int. Appl., 261 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

priority document

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076971	A2	20001221	WO 2000-GB2302	20000613
WO 2000076971	A3	20010802		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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AU 2000054140	A5	20010102	AU 2000-54140	20000613
EP 1192132	A2	20020403	EP 2000-938916	20000613
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WO 2001096296	A1	20011220	WO 2001-GB2541	20010612
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WO 2001096303	A1	20011220	WO 2001-GB2551	20010612
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WO 2001096323	A1	20011220	WO 2001-GB2553	20010612
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 2001096304	A1	20011220	WO 2001-GB2572	20010612

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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EP 1289972	A1	20030312	EP 2001-936686	20010612

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EP 1289950	A1	20030312	EP 2001-938386	20010612

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EP 1289953	A1	20030312	EP 2001-938403	20010612

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EP 1289954	A1	20030312	EP 2001-940716	20010612

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

BR 2001011451	A	20030624	BR 2001-11451	20010612
US 2002151724	A1	20021017	US 2002-30186	20020204
US 2003078438	A1	20030424	US 2002-30189	20020204
US 2003109706	A1	20030612	US 2002-30188	20020204
NO 2002005665	A	20021125	NO 2002-5665	20021125

PRIORITY APPLN. INFO.:

GB 1999-13823	A	19990614
US 1999-142064P	P	19990702
GB 1999-18741	A	19990809
GB 1999-29553	A	19991214
WO 2000-GB2302	A	20000613
GB 2000-30303	A	20001213
GB 2000-30304	A	20001213
GB 2000-30305	A	20001213
GB 2000-30306	A	20001213
WO 2001-GB2541	W	20010612
WO 2001-GB2551	W	20010612
WO 2001-GB2553	W	20010612
WO 2001-GB2572	W	20010612

OTHER SOURCE(S): MARPAT 134:56958

AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring or substituted at the position alpha to X-X; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycinyl)-4,4'-bispiperidine was prepd. and shown to double the

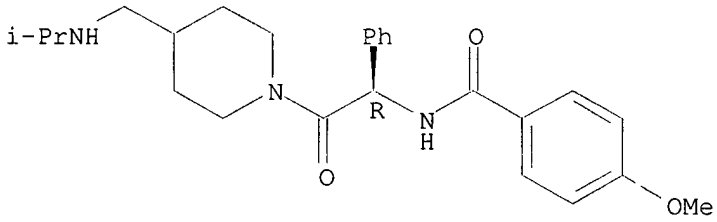
prothrombin time at a concn. of 26 .mu.M.

IT **313489-01-9P 313489-02-0P 313489-03-1P 313489-04-2P 313489-05-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid derivs. as serine protease inhibitors)

RN 313489-01-9 CAPLUS

CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[[(1-methylethyl)amino]methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

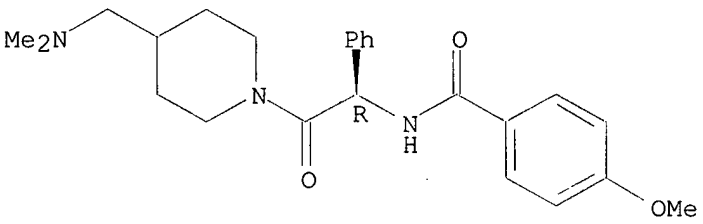


● HCl

RN 313489-02-0 CAPLUS

CN Benzamide, N-[(1R)-2-[4-[(dimethylamino)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

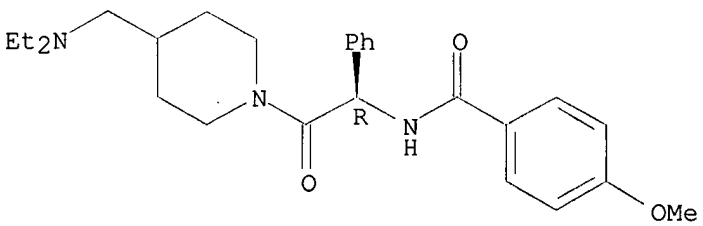
Absolute stereochemistry.



RN 313489-03-1 CAPLUS

CN Benzamide, N-[(1R)-2-[4-[(diethylamino)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

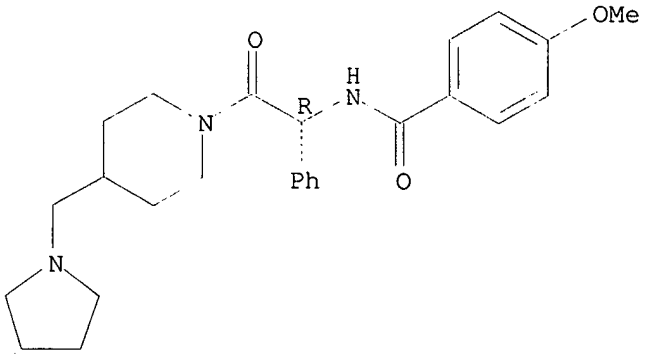
Absolute stereochemistry.



HCl

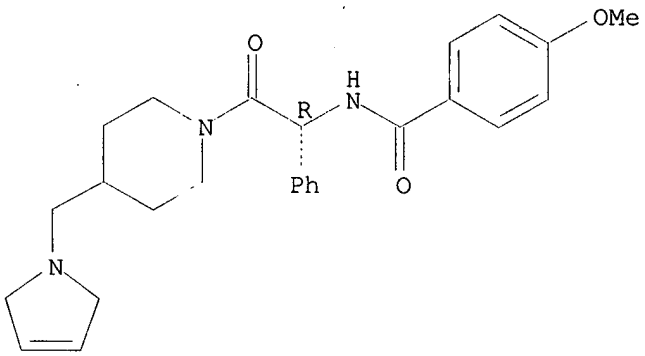
RN 313489-04-2 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(1-pyrrolidinylmethyl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-05-3 CAPLUS
CN Benzamide, N-[(1R)-2-[4-[(2,5-dihydro-1H-pyrrol-1-yl)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L8 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:900613 CAPLUS
DOCUMENT NUMBER: 134:56957
TITLE: Preparation of amino acid derivatives as serine protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Lively, Sarah Elizabeth; Harrison, Martin James; Waszkowycz, Bohdan; Masters, John Joseph; Wiley, Michael John
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Protherics Molecular Design Limited

Searched by Barb O'Bryen, STIC 308-4291

SOURCE: PCT Int. Appl., 350 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076970	A2	20001221	WO 2000-GB2296	20000613
WO 2000076970	A3	20010719		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1192135	A2	20020403	EP 2000-938912	20000613

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.:

GB 1999-13823	A	19990614
US 1999-142064P	P	19990702
GB 1999-18741	A	19990809
GB 1999-29552	A	19991214
GB 1999-29553	A	19991214
WO 2000-GB2296	W	20000613

OTHER SOURCE(S): MARPAT 134:56957

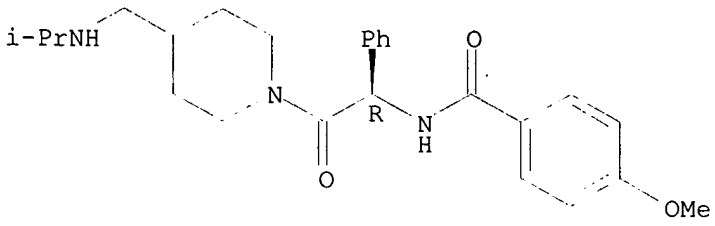
AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycinyl)-4,4'-bispiperidine was prepd. and shown to double the prothrombin time at a concn. of 26 .mu.M.

IT **313489-01-9P 313489-02-0P 313489-03-1P**
313489-04-2P 313489-05-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid derivs. as serine protease inhibitors)

RN 313489-01-9 CAPLUS

CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[[(1-methylethyl)amino]methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

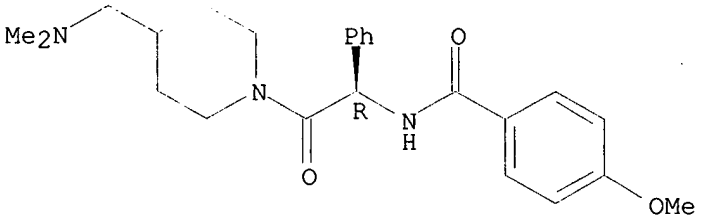
Absolute stereochemistry.



● HCl

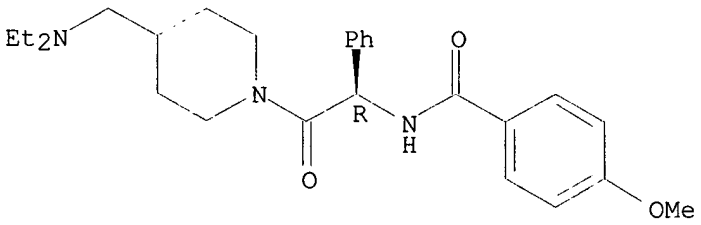
RN 313489-02-0 CAPLUS
CN Benzamide, N-[(1R)-2-[4-[(dimethylamino)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-03-1 CAPLUS
CN Benzamide, N-[(1R)-2-[4-[(diethylamino)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

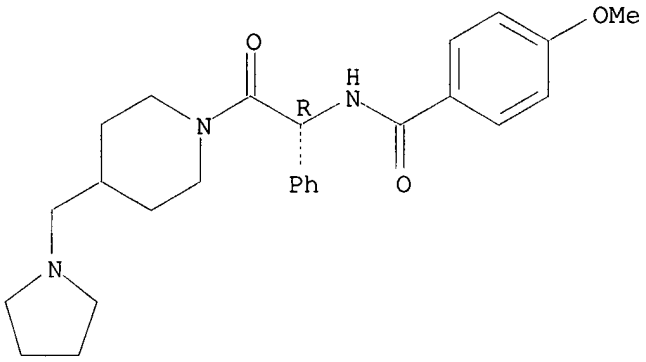
Absolute stereochemistry.



● HCl

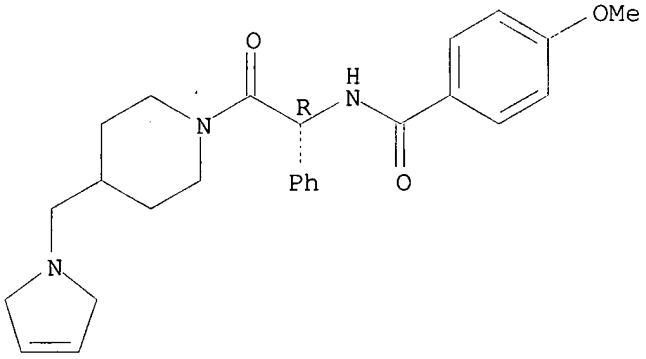
RN 313489-04-2 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(1-pyrrolidinylmethyl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-05-3 CAPLUS
CN Benzamide, N-[(1R)-2-[4-[(2,5-dihydro-1H-pyrrol-1-yl)methyl]-1-piperidinyl]-2-oxo-1-phenylethyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L8 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:184269 CAPLUS
DOCUMENT NUMBER: 130:237884
TITLE: Preparation of meta-benzamidine derivatives of amino acids or dipeptides as serine protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Wylie, William Alexander; Waszkowycz, Bohdan; Murray, Christopher William; Rimmer, Andrew David; Welsh, Pauline Mary; Jones, Stuart Donald; Roscoe, Jonathan Michael Ernest; Young, Stephen Clinton; Morgan, Phillip John
PATENT ASSIGNEE(S): Proteus Molecular Design Ltd., UK
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9911658 A1 19990311 WO 1998-GB2605 19980828
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 9888757 A1 19990322 AU 1998-88757 19980828
EP 1009758 A1 20000621 EP 1998-940430 19980828
R: DE, FR, GB, IT
US 2002055522 A1 20020509 US 2001-988082 20011119
PRIORITY APPLN. INFO.: GB 1997-18392 A 19970829
GB 1998-3173 A 19980213
WO 1998-GB2605 W 19980828
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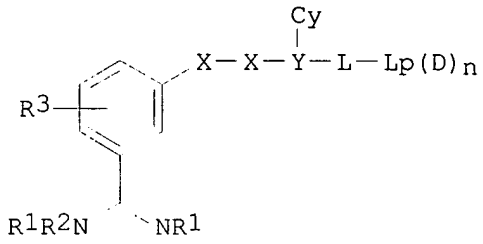
US 1999-142064P P 19990702
US 2000-485678 A2 20000225
WO 2000-GB2291 A2 20000613
OTHER SOURCE(S): MARPAT 130:237884

GI



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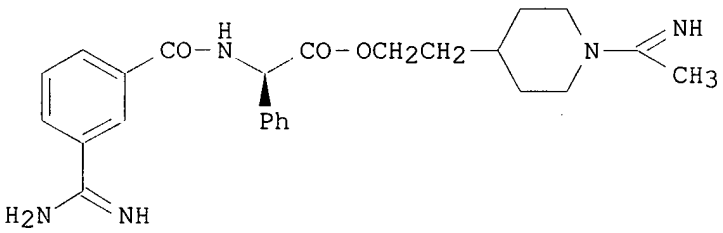


II

AB Title compds. I [R1, R2 = H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by hydroxy, alkylamino, alkoxy, oxo, aryl, cycloalkyl; R3 = R1, R2, amino, halo, cyano, nitro, thiol, alkylthio, alkylsulfonyl, alkylsulfenyl, alkylsulfonamido, alkylaminosulfonyl, haloalkoxy, haloalkyl; X = C, N, O, S, CO, CR1, C(R1)2, NR1 with at least one X being C, CO, CR1 or C(R1)2, with the proviso that if the benzamidine group is unsubstituted and the X-X group is -CH2C(R1)2-, then R1 = H or attached to the alkylene carbon atom by a heteroatom; L = org. linker contg. 1-5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y = N, CR1; YL = cyclic group; Cy = (un)satd., (poly)cyclic, (hetero)cyclic group optionally substituted by groups R3 or Ph optionally substituted by R3; Lp = lipophilic alkyl, heterocyclic, alkenyl, alkaryl, (poly)cycloalkyl, cycloalkenyl, aryl, aralkyl, haloalkyl, or a combination of two or more such groups optionally substituted by oxa, oxo, aza, thio, halo, amino, hydroxy or by R3; D = H

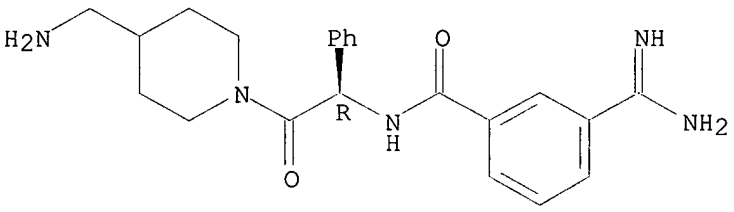
bond donor group; n = 0-2] and their physiol. tolerable salts were prepd. as serine protease inhibitors useful as antithrombotic agents. Synthesis methodol. for prepg. some I was provided, and common starting materials were Fmoc- or Boc-(D)-phenylglycine and m-amidinobenzoic acid. Descriptions of enzyme assays were given, but no enzyme inhibition data was provided for I. To measure the antithrombotic activity, a partial thromboplastin time test assay was done, and for example, m-amidinobenzoyl-D-phenylglycine ester II (prepn. not given, but 1H NMR characterization data provided), at 1.9 .mu.M concn., doubled the clotting time.

IT **221233-09-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of meta-benzamidine derivs. of amino acids or dipeptides as serine protease inhibitors)

RN 221233-09-6 CAPLUS

CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(aminomethyl)-1-piperidinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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